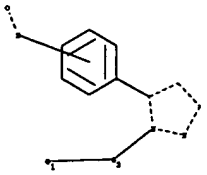
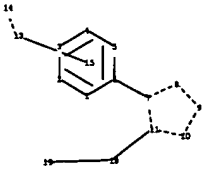




chain nodes :
13 14 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
6-7 11-18 13-14 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11
exact/norm bonds :
7-8 7-11 8-9 9-10 10-11 11-18 13-14 18-19
exact bonds :
6-7
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 7 :

G1:Cb,Ak

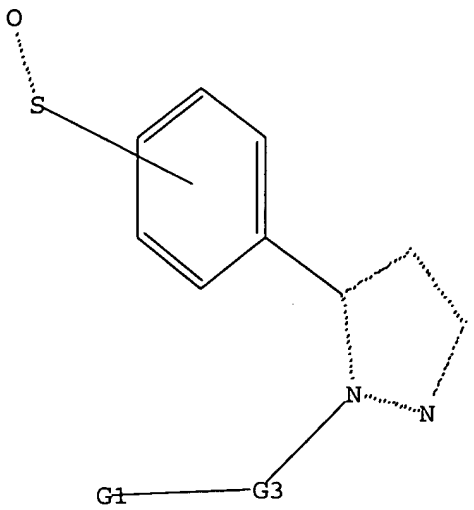
G2:O,S,N

G3:C,O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 13:CLASS 14:CLASS 15:Atom 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> D
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Ak

G2 O,S,N

G3 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> S L1
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SAMPLE SCREEN SEARCH COMPLETED - 1233 TO ITERATE

100.0% PROCESSED 1233 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 22554 TO 26766
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> S L1 FULL
FULL SEARCH INITIATED 11:06:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 24204 TO ITERATE

100.0% PROCESSED 24204 ITERATIONS 82 ANSWERS
SEARCH TIME: 00.00.01

L3 82 SEA SSS FUL L1

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=> FILE CAPLUS
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       166.94     167.15

FILE 'CAPLUS' ENTERED AT 11:06:15 ON 31 JUL 2006
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FILE COVERS 1907 - 31 Jul 2006  VOL 145 ISS 6
FILE LAST UPDATED: 30 Jul 2006  (20060730/ED)

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They are available for your review at:

http://www.cas.org/infopolicy.html

=> S L3
L4           11 L3

=> D IBIB ABS HITSTR TOT
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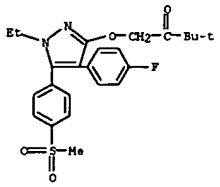
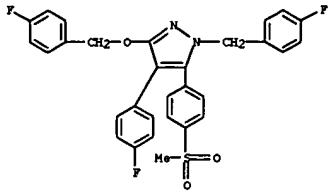
L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:757195 CAPLUS
DOCUMENT NUMBER: 141:410858
TITLE: Synthesis of 4,5-Diaryl-1H-pyrazole-3-ol Derivatives as Potential COX-2 Inhibitors
AUTHOR(S): Patel, Meena V.; Bell, Randy; Majest, Sandra; Henry, Rodger; Kolasa, Teodozyj
CORPORATE SOURCE: Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA
SOURCE: Journal of Organic Chemistry (2004), 69(21), 7058-7065 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:410858

AB 4,5-Diaryl-1H-pyrazole-3-ol was utilized as a versatile template to synthesize several classes of compds. such as pyrazolooxazines, pyrazolobenzooxazines, pyrazolooxazoles, and annulated pyrazolooxazoles as potential COX-2 inhibitors. The pyrano- and thiopyranopyrazolooxazoles were successfully synthesized with use of pyridinium p-toluenesulfonate mediated cyclization of ketal intermediates. Diarylpyrazolobenzooxazepine analogs were synthesized by using Cu-mediated cyclization of O-alkylated aryl bromide intermediate. Arylsulfonamides were synthesized efficiently on a large scale with the 4-[4-(4-fluorophenyl)-5-hydroxy-2H-pyrazol-3-yl]benzenesulfonamide template readily synthesized from com. available 4-sulfamoylbenzoic acid. The structure of a representative compound from each class was confirmed by X-ray crystallog. Selected compds. tested for inhibitory activity against COX-1 and COX-2 enzymes showed good selectivity for COX-2 vs. COX-1 enzyme.

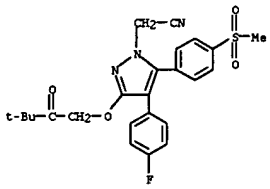
IT 329075-99-2P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 4,5-diaryl-1H-pyrazole-3-ol derivs. as potential COX-2 inhibitors)
RN 329075-99-2 CAPLUS
CN 2-Butanone, 1-[[1-ethyl-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]oxy]-3,3-dimethyl- (9CI) (CA INDEX NAME)



IT 329075-80-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4,5-diaryl-1H-pyrazole-3-ol derivs. as potential COX-2 inhibitors)
RN 329075-80-1 CAPLUS
CN 1H-Pyrazole, 4-(4-fluorophenyl)-3-[(4-fluorophenyl)methoxy]-1-[(4-fluorophenyl)methyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



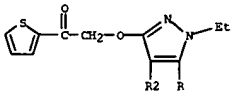
IT 329076-00-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 4,5-diaryl-1H-pyrazole-3-ol derivs. as potential COX-2 inhibitors)
RN 329076-00-8 CAPLUS
CN 1H-Pyrazole-1-acetonitrile, 3-(3,3-dimethyl-2-oxobutoxy)-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

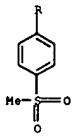


IT 329075-93-6P 329075-97-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 4,5-diaryl-1H-pyrazole-3-ol derivs. as potential COX-2 inhibitors)
RN 329075-93-6 CAPLUS
CN Ethanone, 2-[[1-ethyl-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-

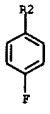
L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
pyrazol-3-yl]oxy]-1-(2-thienyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



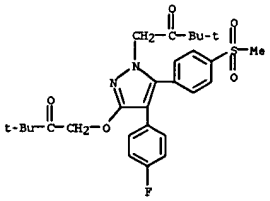


PAGE 2-A

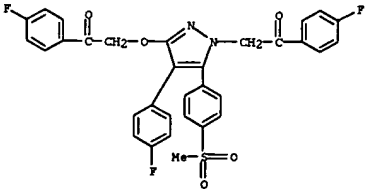


RN 329075-97-0 CAPLUS
CN 2-Butanone, 1-[3-(3,3-dimethyl-2-oxobutoxy)-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-3,3-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



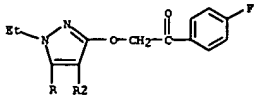
IT 329075-85-6P 329075-90-3P 329075-92-5P
329075-98-1P 329076-01-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 4,5-diaryl-1H-pyrazole-3-ol derivs. as potential COX-2 inhibitors)
RN 329075-85-6 CAPLUS
CN Ethanone, 1-(4-fluorophenyl)-2-[4-(4-fluorophenyl)-3-[2-(4-fluorophenyl)-2-oxoethoxy]-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

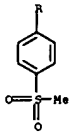


RN 329075-90-3 CAPLUS
CN Ethanone, 2-[[1-ethyl-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]oxy]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A





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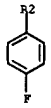
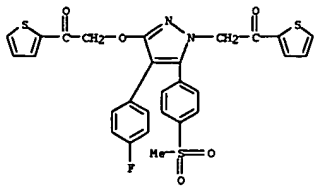
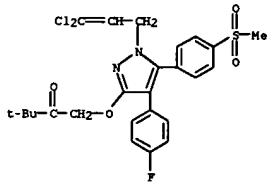


RN 329075-92-5 CAPLUS
CN Ethanone, 2-[4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-[2-oxo-2-(2-thienyl)ethoxy]-1H-pyrazol-1-yl]-1-(2-thienyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

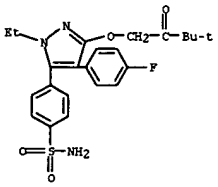


RN 329075-98-1 CAPLUS
CN 2-Butanone, 1-[[1-(3,3-dichloro-2-propenyl)-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]oxy]-3,3-dimethyl- (9CI) (CA INDEX NAME)



RN 329076-01-9 CAPLUS
CN Benzenesulfonamide, 4-[3-(3,3-dimethyl-2-oxobutoxy)-1-ethyl-4-(4-fluorophenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

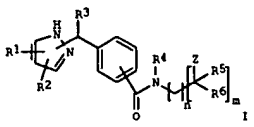
ACCESSION NUMBER: 2004:681504 CAPLUS
DOCUMENT NUMBER: 141:207202
TITLE: Preparation of substituted pyrazoles as glucagon receptor antagonists for treating diabetes mellitus type 2
INVENTOR(S): Parmee, Emma; Raghavan, Subharekha; Beeson, Teresa; Shen, Dong-Ming
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069158	A2	20040819	WO 2004-US1927	20040123
WO 2004069158	A3	20050120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004210127	A1	20040819	AU 2004-210127	20040123
CA 2513102	AA	20040819	CA 2004-2513102	20040123
EP 1590336	A2	20051102	EP 2004-704951	20040123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006516622	T2	20060706	JP 2006-502975	20040123
US 2006084681	A1	20060420	US 2005-543290	20050725

PRIORITY APPLN. INFO.: US 2003-442828P P 20030127
WO 2004-US1927 W 20040123

OTHER SOURCE(S): MARPAT 141:207202
GI

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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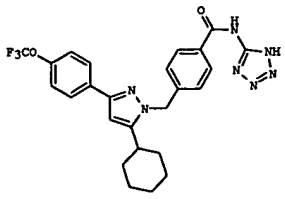


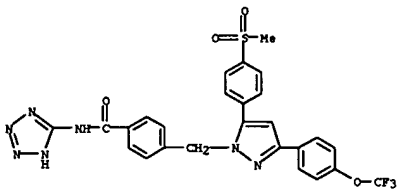
II

AB The title compds. [I; R1 = alkyl, alkenyl, aryl, etc.; R2 = H, R1; R3, R4 = H, alkyl; R5 = H, F; R6 = H, OH, F, alkyl; or R5 and R6 together represent oxo; m = 0-2; n = 0-6; with provisos] which are glucagon receptor antagonists (no data given) and thus are useful for treating, preventing or delaying the onset of type 2 diabetes mellitus, were prepared and formulated. E.g., a 5-step synthesis of II, starting from Me 4-trifluoromethoxybenzoate and acetylcyclohexane, was given.

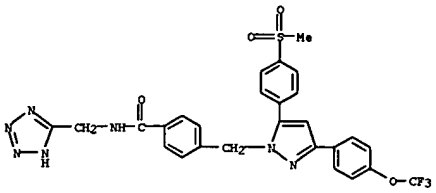
IT 743432-81-7P 743432-82-8P 743432-83-9P
743432-84-0P 743434-11-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyrazoles as glucagon receptor antagonists for
treating diabetes mellitus type 2)

RN 743432-81-7 CAPLUS
CN Benzamide, 4-[[5-[4-(methylsulfonyl)phenyl]-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

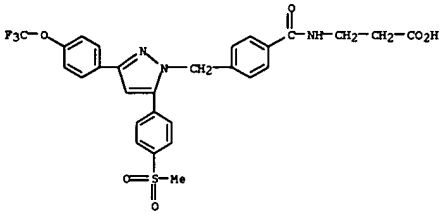


RN 743432-82-8 CAPLUS
CN Benzamide, 4-[[5-[4-(methylsulfonyl)phenyl]-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]-N-(1H-tetrazol-5-ylmethyl)- (9CI) (CA INDEX NAME)

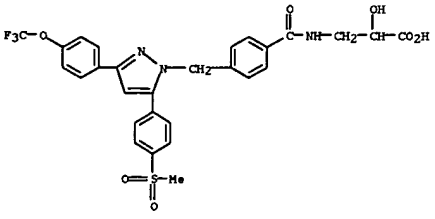


RN 743432-83-9 CAPLUS
CN β-Alanine, N-[4-[[5-[4-(methylsulfonyl)phenyl]-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



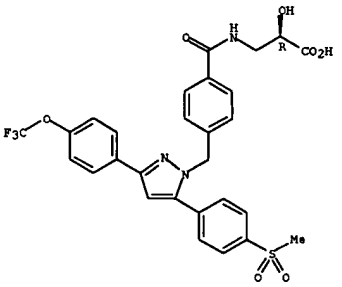
RN 743432-84-0 CAPLUS
CN Propanoic acid, 2-hydroxy-3-[[4-[[5-[4-(methylsulfonyl)phenyl]-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]amino]- (9CI) (CA INDEX NAME)



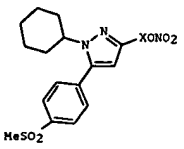
RN 743434-11-9 CAPLUS
CN Propanoic acid, 2-hydroxy-3-[[4-[[5-[4-(methylsulfonyl)phenyl]-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:252948 CAPLUS
DOCUMENT NUMBER: 140:423618
TITLE: Synthesis and Selective Cyclooxygenase-2 Inhibitory Activity of a Series of Novel, Nitric Oxide Donor-Containing Pyrazoles
AUTHOR(S): Ranatunge, Ramani R.; Augustyniak, Michael; Bandarage, Upul K.; Earl, Richard A.; Ellis, James L.; Garvey, David S.; Janero, David R.; Letts, L. Gordon; Martino, Allison M.; Murty, Madhavi G.; Richardson, Stewart K.; Schroeder, Joseph D.; Shumway, Matthew J.; Tam, S. William; Trocha, A. Mark; Young, Delano V.
CORPORATE SOURCE: NitroMed Inc., Bedford, MA, 01730, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(9), 2180-2193
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:423618
GI

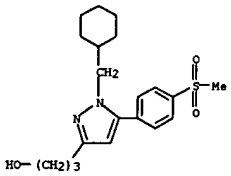


I

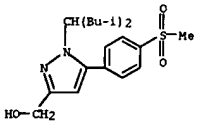
AB The synthesis of a series of novel pyrazoles containing a nitrate (ONO2) moiety as a nitric oxide (NO)-donor functionality is reported. Their COX-1 and COX-2 inhibitory activities in human whole blood are profiled. The data demonstrate that pyrazole ring substituents play an important role in COX-2 selective inhibition, such that a cycloalkylpyrazole (I, X = CH2) was found to be a potent and selective COX-2 inhibitor. Other modifications at the 3 position of the central pyrazole ring [I, X = (CH2)3, C(:NOH)(CH2)3, (Z)-CH:CHCH2CH2] enhanced COX-2 inhibitory potency. Among the pyrazoles synthesized, the oxime [I, X = C(:NOH)(CH2)3] was identified as the most potent COX-2 selective inhibitor. Accordingly, this compound was profiled pharmacol. in the rat after oral administration and shown to possess potent antiinflammatory activity in the carrageenan-induced air-pouch model and less gastric toxicity than a standard COX-2 inhibitor when administered with background aspirin treatment. The enhanced gastric tolerance of an NO-donor COX-2 selective inhibitor has the potential to augment the clin. profile of this drug class.

IT 654058-48-7P 654058-51-2P 654058-53-4P

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

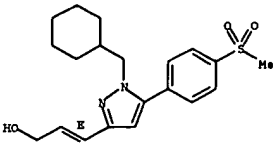


RN 693288-06-1 CAPLUS
CN 1H-Pyrazole-3-methanol, 1-[3-methyl-1-(2-methylpropyl)butyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



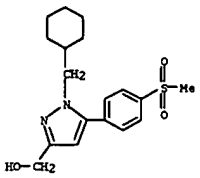
IT 654058-52-3P 654058-60-3P 654058-64-7P
654058-66-9P 654058-67-0P 693288-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and selective cyclooxygenase-2 inhibitory activity of nitric oxide donor-containing pyrazoles)
RN 654058-52-3 CAPLUS
CN 2-Propen-1-ol, 3-[1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

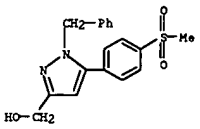


RN 654058-60-3 CAPLUS
CN 1H-Pyrazole-3-methanol, 1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-, nitrate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
693288-06-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and selective cyclooxygenase-2 inhibitory activity of nitric oxide donor-contg. pyrazoles)
RN 654058-48-7 CAPLUS
CN 1H-Pyrazole-3-methanol, 1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

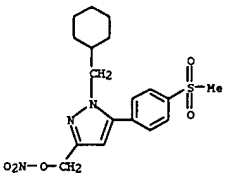


RN 654058-51-2 CAPLUS
CN 1H-Pyrazole-3-methanol, 5-[4-(methylsulfonyl)phenyl]-1-(phenylmethyl)-(9CI) (CA INDEX NAME)

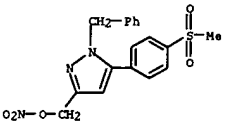


RN 654058-53-4 CAPLUS
CN 1H-Pyrazole-3-propanol, 1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

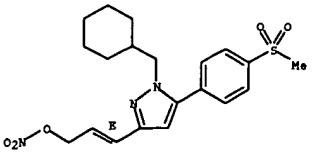


RN 654058-64-7 CAPLUS
CN 1H-Pyrazole-3-methanol, 5-[4-(methylsulfonyl)phenyl]-1-(phenylmethyl)-, nitrate (ester) (9CI) (CA INDEX NAME)



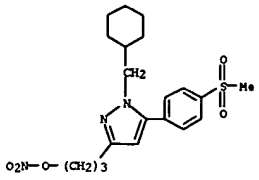
RN 654058-66-9 CAPLUS
CN 2-Propen-1-ol, 3-[1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-, nitrate (ester), (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

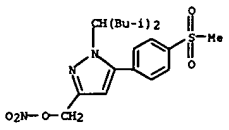


RN 654058-67-0 CAPLUS
CN 1H-Pyrazole-3-propanol, 1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-, nitrate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 693288-07-2 CAPLUS
CN 1H-Pyrazole-3-methanol, 1-[3-methyl-1-(2-methylpropyl)butyl]-5-[4-(methylsulfonyl)phenyl]-, nitrate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:100955 CAPLUS
DOCUMENT NUMBER: 140:157441
TITLE: Cyclooxygenase- 2 selective inhibitors, compositions and methods of use
INVENTOR(S): Garvey, David S.; Khanapure, Subhash P.; Ranatunge, Ramani R.; Richardson, Stewart K.; Schroeder, Joseph D.
PATENT ASSIGNEE(S): Nitromed, Inc., USA
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004010945	A2	20040205	WO 2003-US23605	20030729
WO 2004010945	A3	20040422		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003261281	A1	20040216	AU 2003-261281	20030729
US 2004072883	A1	20040415	US 2003-628375	20030729
EP 1542972	A2	20050622	EP 2003-772004	20030729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538110	T2	20051215	JP 2004-524981	20030729

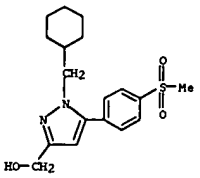
PRIORITY APPLN. INFO.: US 2002-398829P P 20020729
WO 2003-US23605 W 20030729

OTHER SOURCE(S): MARPAT 140:157441

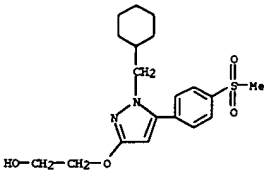
AB The invention describes novel cyclooxygenase 2 (COX-2) selective inhibitors and novel compns. comprising at least one cyclooxygenase 2 (COX-2) selective inhibitor, and, optionally, at least one compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor or is a substrate for nitric oxide synthase, and/or at least one therapeutic agent. The invention also provides novel kits comprising at least one COX-2 selective inhibitor, optionally nitrosated and/or nitrosylated, and, optionally, at least one nitric oxide donor, and/or, optionally, at least one therapeutic agent. The novel cyclooxygenase 2 selective inhibitors of the invention can be optionally nitrosated and/or nitrosylated. The invention also provides methods for treating inflammation, pain and fever; for treating and/or improving the gastrointestinal properties of COX-2 selective inhibitors; for facilitating wound healing; for treating and/or preventing renal and/or respiratory toxicity; for treating and/or preventing other

App is cant

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
disorders resulting from elevated levels of cyclooxygenase-2; and for improving the cardiovascular profile of COX-2 selective inhibitors.
IT 654058-48-7P 654058-50-1P 654058-51-2P
654058-52-3P 654058-53-4P 654058-67-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antiinflammatory cyclooxygenase-2 selective inhibitors)
RN 654058-48-7 CAPLUS
CN 1H-Pyrazole-3-methanol, 1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

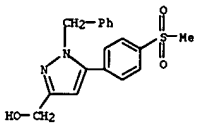


RN 654058-50-1 CAPLUS
CN Ethanol, 2-[[1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



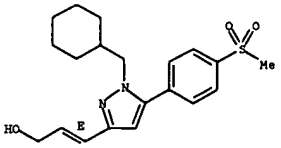
RN 654058-51-2 CAPLUS
CN 1H-Pyrazole-3-methanol, 5-[4-(methylsulfonyl)phenyl]-1-(phenylmethyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

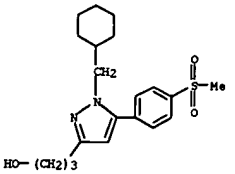


RN 654058-52-3 CAPLUS
CN 2-Propen-1-ol, 3-[1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

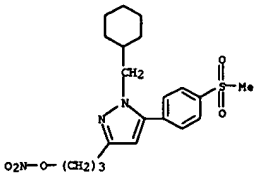


RN 654058-53-4 CAPLUS
CN 1H-Pyrazole-3-propanol, 1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)



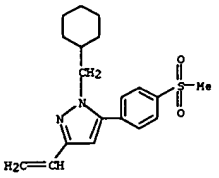
RN 654058-67-0 CAPLUS
CN 1H-Pyrazole-3-propanol, 1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-, nitrate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 654058-54-5P 654058-56-7P 654058-58-9P
654058-60-3P 654058-62-5P 654058-64-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiinflammatory cyclooxygenase-2 selective inhibitors)

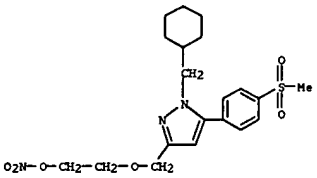
RN 654058-54-5 CAPLUS
CN 1H-Pyrazole, 1-(cyclohexylmethyl)-3-ethenyl-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



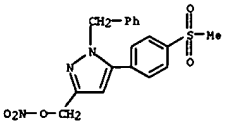
RN 654058-56-7 CAPLUS
CN 2-Propenoic acid, 3-(1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



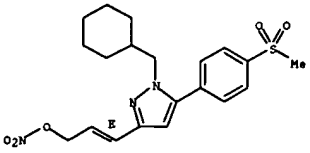
RN 654058-64-7 CAPLUS
CN 1H-Pyrazole-3-methanol, 5-[4-(methylsulfonyl)phenyl]-1-(phenylmethyl)-, nitrate (ester) (9CI) (CA INDEX NAME)



IT 654058-66-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiinflammatory cyclooxygenase-2 selective inhibitors)

RN 654058-66-9 CAPLUS
CN 2-Propen-1-ol, 3-[1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]-, nitrate (ester), (2E)- (9CI) (CA INDEX NAME)

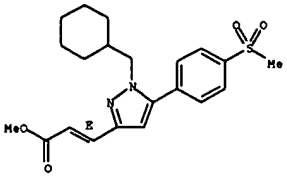
Double bond geometry as shown.



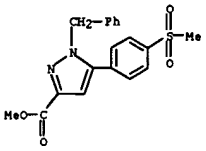
IT 654058-86-3P 654058-88-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antiinflammatory cyclooxygenase-2 selective inhibitors)

RN 654058-86-3 CAPLUS

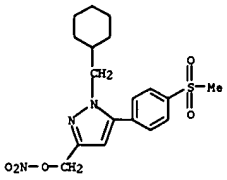
L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 654058-58-9 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 5-[4-(methylsulfonyl)phenyl]-1-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



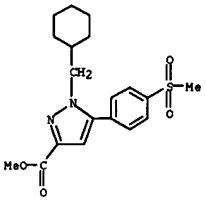
RN 654058-60-3 CAPLUS
CN 1H-Pyrazole-3-methanol, 1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-, nitrate (ester) (9CI) (CA INDEX NAME)



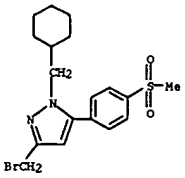
RN 654058-62-5 CAPLUS
CN Ethanol, 2-[[1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]methoxy]-, nitrate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN 1H-Pyrazole-3-carboxylic acid, 1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 654058-88-5 CAPLUS
CN 1H-Pyrazole, 3-(bromomethyl)-1-(cyclohexylmethyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:511143 CAPLUS
DOCUMENT NUMBER: 139:85387
TITLE: Preparation of heterocyclic substituted phenylsulfonamides as broad-spectrum HIV protease inhibitors
INVENTOR(S): Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; Tahri, Abdellah; Moors, Samuel Leo Christiaan; Erra Sola, Montserrat
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053435	A1	20030703	WO 2002-EP14839	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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NZ 533665	A	20051028	NZ 2004-533665	20040621
NO 2004003114	A	20040920	NO 2004-3114	20040720
ZA 2004005784	A	20050831	ZA 2004-5784	20040720
US 2005222215	A1	20051006	US 2005-499221	20050412
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			WO 2002-EP14839 W	20021220

OTHER SOURCE(S): MARPAT 139:85387
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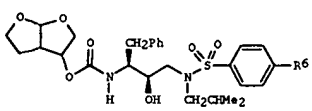


I

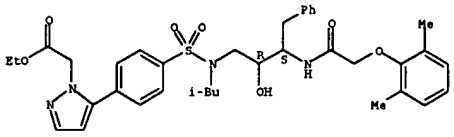
L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB R1LN(R2)CHR3CH(OH)CH2N(R4)SO2C6H4R5 [R1 = H, alkyl, alkenyl, aralkyl, cycloalkyl, cycloalkylalkyl, aryl, heterocyclic, heterocyclylalkyl, (un)substituted CH2CH2NH2; L = CO, O2C, (un)substituted NHCO, oxaalkylcarbonyl, aminoalkylcarbonyl, SO2, O3S, (un)substituted NHSO2; R2 = H, alkyl; R3 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R4 = H, (un)substituted CO2H, CONH2, cycloalkyl, alkenyl, alkynyl, alkyl; R5 = (un)substituted heteroaryl] were prepared for use as broad-spectrum HIV protease inhibitors. Thus, (1S,2R)-Me3CO2CNHCH(CH2Ph)CH(OH)CHZNHCH2CHMe2 was treated with 4-NCC6H4SO2Cl to give (1S,2R)-Me3CO2CNHCH(CH2Ph)CH(OH)CH2N(CH2CHMe2)SO2C6H4CN-4 which was deblocked and treated with the hexahydrofurofuranyloxycarbonyloxypyrrolidinedione to give the carbamate I [R6 = CN]. Treatment of I [R6 = CN] with NH2OH.HCl gave I [R6 = C(NH2):NOH] which was cyclized with 2-furoyl chloride to give I [R6 = 5-(2-furyl)-1,2,4-oxadiazol-3-yl] which had pEC50 = 8.4 for inhibition of HIV-1.

IT 553644-33-0P 553644-35-2P 553644-36-3P
553644-38-5P 553644-39-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic substituted phenylsulfonamides as broad-spectrum HIV protease inhibitors)

RN 553644-33-0 CAPLUS
CN 1H-Pyrazole-1-acetic acid, 5-[4-[[[(2R,3S)-3-[[(2,6-dimethylphenoxy)acetyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

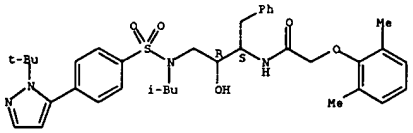
Absolute stereochemistry.



RN 553644-35-2 CAPLUS
CN Acetamide, N-[(1S,2R)-3-[[[4-[1-(1,1-dimethylethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-(2,6-dimethylphenoxy)- (9CI) (CA INDEX NAME)

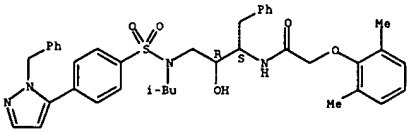
Absolute stereochemistry.

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



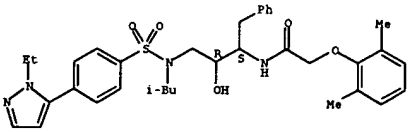
RN 553644-36-3 CAPLUS
CN Acetamide, 2-(2,6-dimethylphenoxy)-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[1-(phenylmethyl)-1H-pyrazol-5-yl]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 553644-38-5 CAPLUS
CN Acetamide, 2-(2,6-dimethylphenoxy)-N-[(1S,2R)-3-[[[4-(1-ethyl-1H-pyrazol-5-yl)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

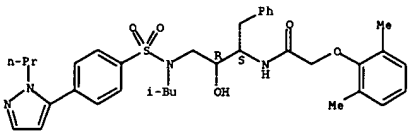
Absolute stereochemistry.



RN 553644-39-6 CAPLUS
CN Acetamide, 2-(2,6-dimethylphenoxy)-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-(1-propyl-1H-pyrazol-5-yl)phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:596504 CAPLUS
DOCUMENT NUMBER: 136:469
TITLE: The acute antihyperalgesic action of nonsteroidal, anti-inflammatory drugs and release of spinal prostaglandin E2 is mediated by the inhibition of constitutive spinal cyclooxygenase-2 (COX-2) but not COX-1
AUTHOR(S): Yaksh, Tony L.; Dirig, David M.; Conway, Charles M.; Svensson, Camilla; Luo, Z. David; Isakson, Peter C.
CORPORATE SOURCE: Department of Anesthesiology, University of California, San Diego, La Jolla, CA, 92093-0818, USA
SOURCE: Journal of Neuroscience (2001), 21(16), 5847-5853
CODEN: JNRSDS; ISSN: 0270-6474
PUBLISHER: Society for Neuroscience
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Western blots show the constitutive expression of COX-1 and COX-2 in the rat spinal dorsal and ventral horns and in the dorsal root ganglia. Using selective inhibitors of cyclooxygenase (COX) isoenzymes, we show that in rats with chronic indwelling intrathecal catheters the acute thermal hyperalgesia evoked by the spinal delivery of substance P (SP; 20 nmol) or NMDA (2 nmol) and the thermal hyperalgesia induced by the injection of carrageenan into the paw are suppressed by intrathecal and systemic COX-2 inhibitors. The intrathecal effects are dose-dependent and stereospecific. In contrast, a COX-1 inhibitor given systemically, but not spinally, reduced carrageenan-evoked thermal hyperalgesia but had no effect by any route with spinal SP hyperalgesia. Using intrathecal loop dialysis catheters, we showed that intrathecal SP would enhance the release of prostaglandin E2 (PGE2). This intrathecally evoked release of spinal PGE2 was diminished by systemic delivery of nonspecific COX and COX-2-selective inhibitors, but not a COX-1-selective inhibitor. Given at systemic doses that block SP- and carrageenan-evoked hyperalgesia, COX-2, but not COX-1, inhibitors reduced spinal SP-evoked PGE2 release. Thus, constitutive spinal COX-2, but not COX-1, is an important contributor to the acute antihyperalgesic effects of spinal as well as systemic COX-2 inhibitors.

IT 377058-66-7
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acute antihyperalgesic action of nonsteroidal, anti-inflammatory drugs and release of spinal prostaglandin E2 is mediated by inhibition of constitutive spinal cyclooxygenase-2 (COX-2) but not COX-1)
RN 377058-66-7 CAPLUS
CN 1H-Pyrazole, 4-(4-fluorophenyl)-1-(1-methylethenyl)-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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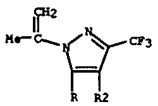
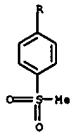
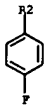




PAGE 2-A

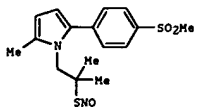


REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:472491 CAPLUS
DOCUMENT NUMBER: 135:76524
TITLE: Preparation of nitrosated and nitrosylated cyclooxygenase-2 inhibitors
INVENTOR(S): Bandarage, Ramani R.; Bandarage, Upul K.; Fang, Xinqin; Garvey, David S.; Letts, L. Gordon; Schroeder, Joseph D.; Tam, Sang William
PATENT ASSIGNEE(S): Nitromed, Inc., USA
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045703	A1	20010628	WO 2000-US35014	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393724	AA	20010628	CA 2000-2393724	20001222
US 2001041726	A1	20011115	US 2000-741816	20001222
US 6649629	B2	20031118		
EP 1246621	A1	20021009	EP 2000-989422	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000017037	A	20030610	BR 2000-17037	20001222
JP 2003523958	T2	20030812	JP 2001-546642	20001222
NZ 519781	A	20040430	NZ 2000-519781	20001222
AU 782971	B2	20050915	AU 2001-25928	20001222
ZA 2002005707	A	20031111	ZA 2002-5707	20020717
US 2003220228	A1	20031127	US 2003-463671	20030618
PRIORITY APPLN. INFO.:			US 1999-171623P P	19991223
			US 2000-226085P P	20000818
			US 2000-741816 A3	20001222
			WO 2000-US35014 W	20001222

OTHER SOURCE(S): MARPAT 135:76524
GI

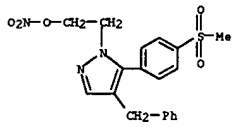


I

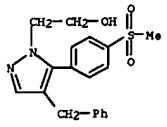
L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. were prepared Thus, MeCOCH:CH2 was condensed with 4-(MeS)C6H4CHO and the oxidized product cyclocondensed with Me2C(SH)CH2NH2 to give, after Me3CONO treatment, title compound I. Data for biol. activity of title compds. were given.

IT 346683-87-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrosated and nitrosylated cyclooxygenase-2 inhibitors)
RN 346683-87-2 CAPLUS
CN 1H-Pyrazole-1-ethanol, 5-[4-(methylsulfonyl)phenyl]-4-(phenylmethyl)-, nitrate (ester) (9CI) (CA INDEX NAME)



IT 346684-14-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nitrosated and nitrosylated cyclooxygenase-2 inhibitors)
RN 346684-14-8 CAPLUS
CN 1H-Pyrazole-1-ethanol, 5-[4-(methylsulfonyl)phenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:167997 CAPLUS
DOCUMENT NUMBER: 134:207814
TITLE: Preparation of sulfonylphenylpyrazoles as COX-2 inhibitors
INVENTOR(S): Kolasa, Teodozyj; Patel, Meena V.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

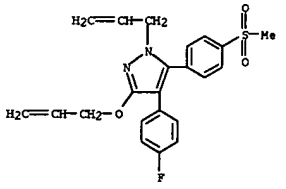
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016138	A1	20010308	WO 2000-US23214	20000824
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2379421	AA	20010308	CA 2000-2379421	20000824
EP 1206474	A1	20020522	EP 2000-955867	20000824
EP 1206474	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 267830	E	20040615	AT 2000-955867	20000824
PT 1206474	T	20041029	PT 2000-955867	20000824
ES 2222919	T3	20050216	ES 2000-955867	20000824
US 6472416	B1	20021029	US 2000-648202	20000825

PRIORITY APPLN. INFO.: US 1999-151247P P 19990827
US 1999-384954 A 19990827
WO 2000-US23214 W 20000824
OTHER SOURCE(S): MARPAT 134:207814
GI

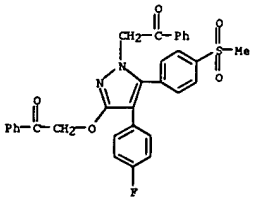
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I-III; one of R1 and R2 = IV, V (wherein R7 = alkyl, NH2, (di)alkylamino; X4 = SO2, SO(NR8); R8 = H, alkyl, cycloalkyl; R9 = H, halo) and the other of R1 and R2 = hydroxyalkyl, halo, alkyl, etc.; R3 = alkyl, alkenyl, aryl, etc.; R4 = H, alkyl, alkenyl, etc.; X1 = O, NR4, S; X2 = O(CH2)n, S(CH2)n, NR4(CH2)n (n = 0-1), etc.; X3 = absent, CH2, CR15R16 (R15, R16 = H, alkyl); R5, R6 = H, alkyl, aryl, etc.; R5 and R6 taken together with the atoms to which they are attached = (un)substituted 5-7 membered ring, optionally aromatic, and optionally containing 1-2 heteroatoms selected from O, N, and S], useful in the treatment of cyclooxygenase-2 mediated diseases, were prepared E.g., a multi-step synthesis of the pyrazolooxazine VI which showed IC50 of 720 nM against COX-2, was given.

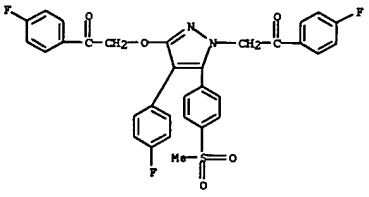
IT 329075-79-8P 329075-80-1P 329075-81-2P
329075-84-5P 329075-85-6P 329075-86-7P
329075-89-0P 329075-90-3P 329075-91-4P
329075-92-5P 329075-93-6P 329075-94-7P



RN 329075-84-5 CAPLUS
CN Ethanone, 2-[4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(2-oxo-2-phenylethoxy)-1H-pyrazol-1-yl]-1-phenyl- (9CI) (CA INDEX NAME)



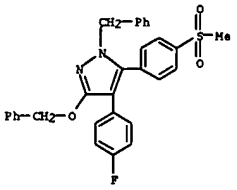
RN 329075-85-6 CAPLUS
CN Ethanone, 1-(4-fluorophenyl)-2-[4-(4-fluorophenyl)-3-[2-(4-fluorophenyl)-2-oxoethoxy]-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



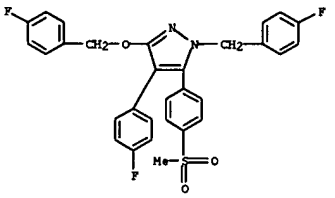
RN 329075-86-7 CAPLUS
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-phenoxy-1-

329075-95-8P 329075-96-9P 329075-97-0P
329075-98-1P 329075-99-2P 329076-00-8P
329076-01-9P 329076-02-0P 329076-03-1P
329076-04-2P 329076-05-3P 329076-06-4P
329076-36-0P 329076-39-3P 329076-42-8P
329076-43-9P 329076-54-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonylphenylpyrazoles as COX-2 inhibitors)

RN 329075-79-8 CAPLUS
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

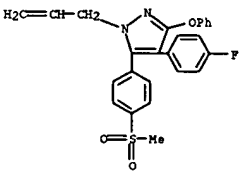


RN 329075-80-1 CAPLUS
CN 1H-Pyrazole, 4-(4-fluorophenyl)-3-[(4-fluorophenyl)methoxy]-1-[(4-fluorophenyl)methyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

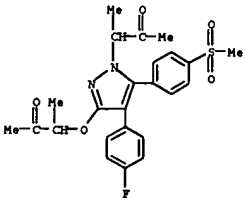


RN 329075-81-2 CAPLUS
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-(2-propenyl)-3-(2-propenyloxy)- (9CI) (CA INDEX NAME)

(2-propenyl)- (9CI) (CA INDEX NAME)



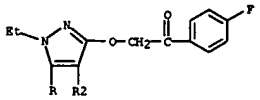
RN 329075-89-0 CAPLUS
CN 2-Butanone, 3-[4-(4-fluorophenyl)-3-(1-methyl-2-oxopropoxy)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

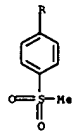


RN 329075-90-3 CAPLUS
CN Ethanone, 2-[[1-ethyl-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]oxy]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A





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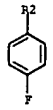
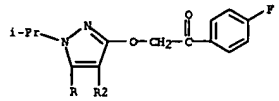
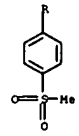


RN 329075-91-4 CAPLUS
CN Ethanone, 1-(4-fluorophenyl)-2-[[4-(4-fluorophenyl)-1-(1-methylethyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A





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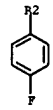
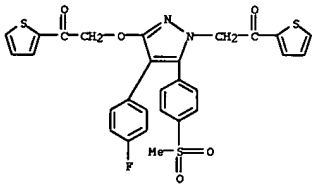


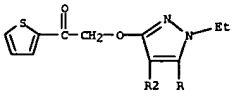
RN 329075-92-5 CAPLUS
CN Ethanone, 2-[4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-[2-oxo-2-(2-thienyl)ethoxy]-1H-pyrazol-1-yl]-1-(2-thienyl)- (9CI) (CA INDEX NAME)

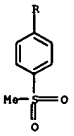
L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 329075-93-6 CAPLUS
CN Ethanone, 2-[[1-ethyl-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]oxy]-1-(2-thienyl)- (9CI) (CA INDEX NAME)

PAGE 1-A





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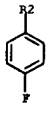
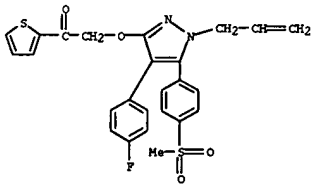


RN 329075-94-7 CAPLUS
CN Ethanone, 2-[[4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-(2-

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
propenyl)-1H-pyrazol-3-yl]oxy]-1-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 329075-95-8 CAPLUS
CN 4H-Pyran-4-one, 3-[[1-ethyl-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]oxy]tetrahydro- (9CI) (CA INDEX NAME)

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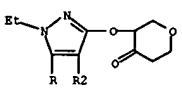

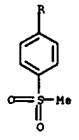

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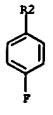

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 329075-96-9 CAPLUS
CN 4H-Thiopyran-4-one, 3-[[1-ethyl-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]oxy]tetrahydro- (9CI) (CA INDEX NAME)

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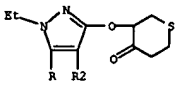
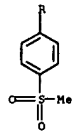
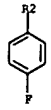


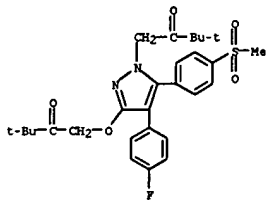


PAGE 2-A

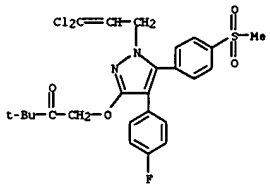


RN 329075-97-0 CAPLUS
CN 2-Butanone, 1-[3-(3,3-dimethyl-2-oxobutoxy)-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]-3,3-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

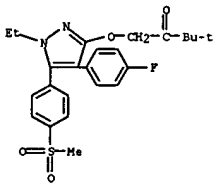


RN 329075-98-1 CAPLUS
CN 2-Butanone, 1-[[1-(3,3-dichloro-2-propenyl)-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]oxy]-3,3-dimethyl- (9CI) (CA INDEX NAME)

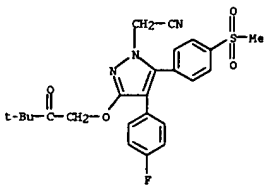


RN 329075-99-2 CAPLUS
CN 2-Butanone, 1-[[1-ethyl-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-3-yl]oxy]-3,3-dimethyl- (9CI) (CA INDEX NAME)

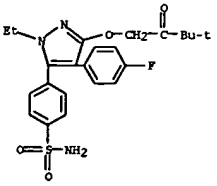
L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 329076-00-8 CAPLUS
CN 1H-Pyrazole-1-acetonitrile, 3-(3,3-dimethyl-2-oxobutoxy)-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

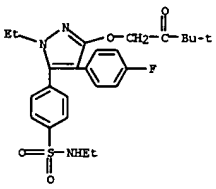


RN 329076-01-9 CAPLUS
CN Benzenesulfonamide, 4-[3-(3,3-dimethyl-2-oxobutoxy)-1-ethyl-4-(4-fluorophenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 329076-02-0 CAPLUS
CN Benzenesulfonamide, 4-[3-(3,3-dimethyl-2-oxobutoxy)-1-ethyl-4-(4-fluorophenyl)-1H-pyrazol-5-yl]-N-ethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 329076-03-1 CAPLUS
CN 1H-Pyrazole, 1-ethyl-4-(4-fluorophenyl)-3-[(4-fluorophenyl)methoxy]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

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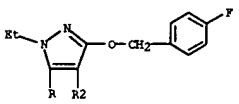

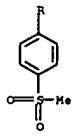

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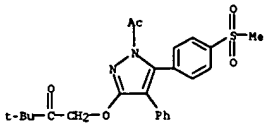
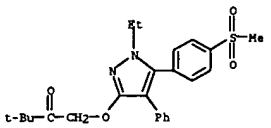
RN 329076-04-2 CAPLUS

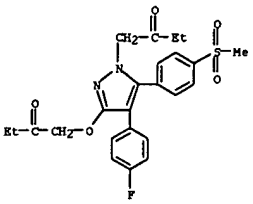
L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrazole, 1-acetyl-3-(3,3-dimethyl-2-oxobutoxy)-5-[4-(methylsulfonyl)phenyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 329076-05-3 CAPLUS
CN 2-Butanone, 1-[[1-ethyl-5-[4-(methylsulfonyl)phenyl]-4-phenyl-1H-pyrazol-3-yl]oxy]-3,3-dimethyl- (9CI) (CA INDEX NAME)



RN 329076-06-4 CAPLUS
CN 2-Butanone, 1-[4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-3-(2-oxobutoxy)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 329076-36-0 CAPLUS
CN 1H-Pyrazole, 3-[(2-chloro-6-fluorophenyl)methoxy]-1-[(2-chloro-6-fluorophenyl)methyl]-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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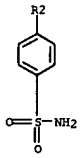
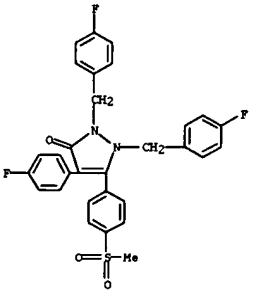
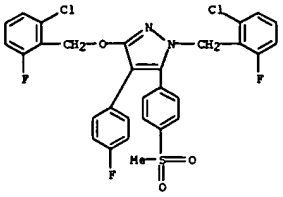


RN 329076-42-8 CAPLUS
CN 3H-Pyrazol-3-one, 4-(4-fluorophenyl)-1,2-bis[(4-fluorophenyl)methyl]-1,2-dihydro-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 329076-43-9 CAPLUS
CN 3H-Pyrazol-3-one, 4-(4-fluorophenyl)-1,2-dihydro-5-[4-(methylsulfonyl)phenyl]-1,2-di-2-propenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



RN 329076-39-3 CAPLUS
CN Benzenesulfonamide, 4-[3-[(2-bromophenyl)methoxy]-1-[(2-bromophenyl)methyl]-4-(4-fluorophenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

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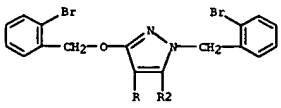
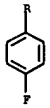
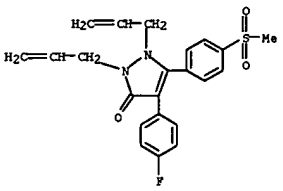
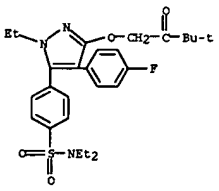




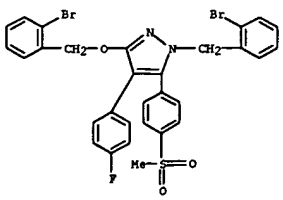
L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 329076-54-2 CAPLUS
CN Benzenesulfonamide, 4-[3-(3,3-dimethyl-2-oxobutoxy)-1-ethyl-4-(4-fluorophenyl)-1H-pyrazol-5-yl]-N,N-diethyl- (9CI) (CA INDEX NAME)



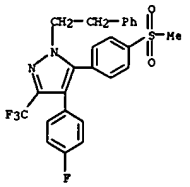
IT 329076-66-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of sulfonylphenylpyrazoles as COX-2 inhibitors)
RN 329076-66-6 CAPLUS
CN 1H-Pyrazole, 3-[(2-bromophenyl)methoxy]-1-[(2-bromophenyl)methyl]-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:124064 CAPLUS
DOCUMENT NUMBER: 132:175822
TITLE: 3,4-substituted pyrazoles for the treatment of inflammation
INVENTOR(S): Lee, Len F.; Penning, Thomas D.; Kramer, Steven W.; Talley, John J.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 42 pp., Cont.-in-part of U.S. 5,486,534
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6028072	A	20000222	US 1997-776090	19970609
US 5486534	A	19960123	US 1994-278297	19940721
WO 9603385	A1	19960208	WO 1995-US8788	19950720
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

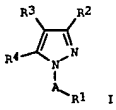
PRIORITY APPLN. INFO.: US 1994-278297 A2 19940721
WO 1995-US8788 W 19950720
OTHER SOURCE(S): MARPAT 132:175822
AB A class of pyrazolyl compds. (Markush included) is described for use in treating inflammation and inflammation-related disorders. Compound preparation is included.
IT 175676-93-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(pyrazole derivative preparation for treatment of inflammation and inflammation-related disorders)
RN 175676-93-4 CAPLUS
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-(2-phenylethyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:350656 CAPLUS
DOCUMENT NUMBER: 131:5254
TITLE: Preparation of 5-arylpyrazoles as COX-2 selective inhibitors
INVENTOR(S): Nakamura, Katsuya; Terasaka, Tadashi; Ogino, Takashi; Noda, Yuka; Manabe, Takashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925695	A1	19990527	WO 1998-JP5041	19981110
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2002509554	T2	20020326	JP 1999-528127	19981110

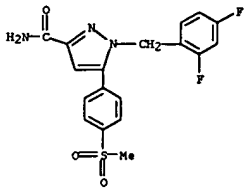
PRIORITY APPLN. INFO.: AU 1997-423 A 19971118
WO 1998-JP5041 W 19981110
OTHER SOURCE(S): MARPAT 131:5254
GI



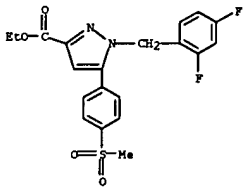
I

AB The title compds. [I; R1 = (un)substituted aryl; R2 = H, NH2, halo, etc.; R3 = H, aryl optionally substituted with halogen, lower alkyl; R4 = (un)substituted aryl; A = lower alkylene], useful for the treatment and/or prevention of inflammatory conditions, various pains, collagen diseases, autoimmune diseases, various immunity disease, analgesic, thrombosis, cancer or neurodegenerative diseases, were prepared Thus, refluxing 4,4,4-trifluoro-1-[4-(methylsulfonyl)phenyl]butane-1,3-dione with 3-fluorobenzylhydrazine in AcOH afforded I [A = CH2; R1 = 3-FC6H4; R2 = CF3; R3 = H; R5 = 4-(MeSO2)C6H4] which showed secondary lesion inhibition (uninjected paw) of > 60% at 1.0 mg/kg in rats.
IT 225781-84-0P 225781-90-8P 225781-92-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 5-arylpyrazoles as COX-2 selective inhibitors)
RN 225781-84-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-[(2,4-difluorophenyl)methyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

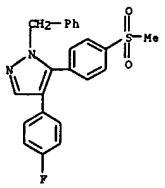
L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 225781-90-8 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 1-[(2,4-difluorophenyl)methyl]-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



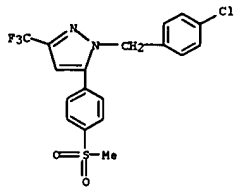
RN 225781-92-0 CAPLUS
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



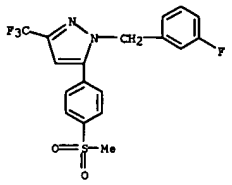
L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 225781-69-1P 225781-72-6P 225781-73-7P
225781-74-8P 225781-75-9P 225781-76-0P
225781-77-1P 225781-78-2P 225781-79-3P
225781-80-6P 225781-85-1P 225781-91-9P
225781-93-1P 225781-94-2P 225781-95-3P
225781-96-4P 225781-97-5P 225781-98-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-arylpyrazoles as COX-2 selective inhibitors)

RN 225781-69-1 CAPLUS
CN 1H-Pyrazole, 1-[(4-chlorophenyl)methyl]-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

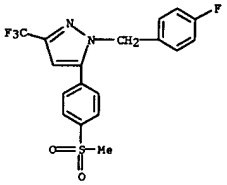


RN 225781-72-6 CAPLUS
CN 1H-Pyrazole, 1-[(3-fluorophenyl)methyl]-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

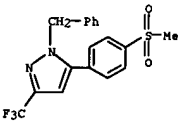


RN 225781-73-7 CAPLUS
CN 1H-Pyrazole, 1-[(4-fluorophenyl)methyl]-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

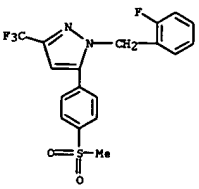
L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 225781-74-8 CAPLUS
CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-1-(phenylmethyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

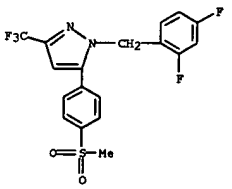


RN 225781-75-9 CAPLUS
CN 1H-Pyrazole, 1-[(2-fluorophenyl)methyl]-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

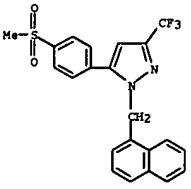


RN 225781-76-0 CAPLUS
CN 1H-Pyrazole, 1-[(2,4-difluorophenyl)methyl]-5-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

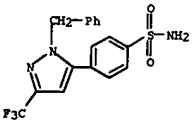
L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 225781-77-1 CAPLUS
CN 1H-Pyrazole, 5-[4-(methylsulfonyl)phenyl]-1-(1-naphthalenylmethyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

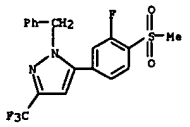


RN 225781-78-2 CAPLUS
CN Benzenesulfonamide, 4-[1-(phenylmethyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

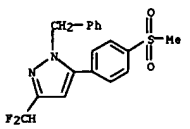


RN 225781-79-3 CAPLUS
CN 1H-Pyrazole, 5-[3-fluoro-4-(methylsulfonyl)phenyl]-1-(phenylmethyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

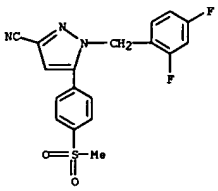
L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 225781-80-6 CAPLUS
CN 1H-Pyrazole, 3-(difluoromethyl)-5-[4-(methylsulfonyl)phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

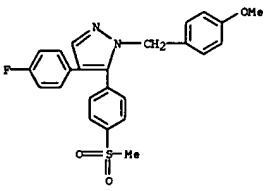


RN 225781-85-1 CAPLUS
CN 1H-Pyrazole-3-carbonitrile, 1-[(2,4-difluorophenyl)methyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

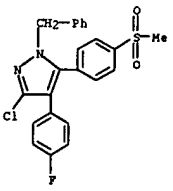


RN 225781-91-9 CAPLUS
CN 1H-Pyrazole, 3-chloro-5-[4-(methylsulfonyl)phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

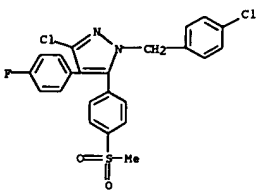
L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 225781-96-4 CAPLUS
CN 1H-Pyrazole, 3-chloro-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

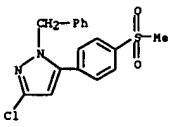


RN 225781-97-5 CAPLUS
CN 1H-Pyrazole, 3-chloro-1-[(4-chlorophenyl)methyl]-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

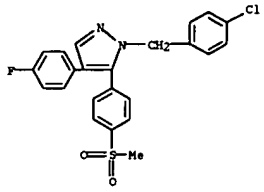


RN 225781-98-6 CAPLUS
CN 1H-Pyrazole, 3-chloro-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-

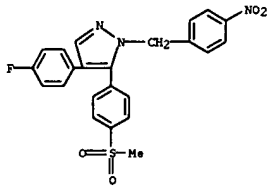
L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 225781-93-1 CAPLUS
CN 1H-Pyrazole, 1-[(4-chlorophenyl)methyl]-4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

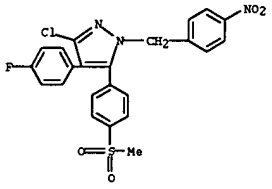


RN 225781-94-2 CAPLUS
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 225781-95-3 CAPLUS
CN 1H-Pyrazole, 4-(4-fluorophenyl)-1-[(4-methoxyphenyl)methyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:121332 CAPLUS
DOCUMENT NUMBER: 124:289529
TITLE: 3-[4-(Methylsulfonyl)phenyl]-1H-pyrazoles and 4-(1H-pyrazol-3-yl)benzenesulfonamides as selective inhibitors of cyclooxygenase II useful as inflammation inhibitors
INVENTOR(S): Lee, Len F.; Penning, Thomas D.; Kramer, Steven W.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: U.S., 40 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5486534	A	19960123	US 1994-278297	19940721
CA 2195123	AA	19960208	CA 1995-2195123	19950720
WO 9603385	A1	19960208	WO 1995-US8788	19950720
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9531267	A1	19960222	AU 1995-31267	19950720
EP 772597	A1	19970514	EP 1995-927154	19950720
EP 772597	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10503201	T2	19980324	JP 1996-505781	19950720
JP 3490716	B2	20040126		
EP 1127878	A1	20010829	EP 2001-112883	19950720
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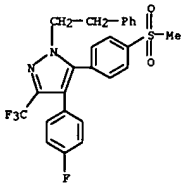
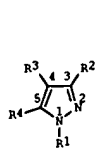
PT 772597	T	20020531	PT 1995-927154	19950720
ES 2169760	T3	20020716	ES 1995-927154	19950720
US 5580985	A	19961203	US 1995-535688	19950928
US 5756530	A	19980526	US 1996-721787	19960925
US 6028072	A	20000222	US 1997-776090	19970609

PRIORITY APPLN. INFO.: US 1994-278297 A 19940721
EP 1995-927154 A3 19950720
WO 1995-US8788 W 19950720

OTHER SOURCE(S): MARPAT 124:289529
GI



L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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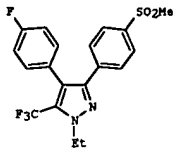

II

AB A class of pyrazolyl compds. is described for use in treating inflammation and inflammation-related disorders and is defined by formula I wherein R1 is a radical selected from hydrido, alkyl, alkenyl, alkynyl, haloalkyl, aralkyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, aminoalkyl, alkylaminoalkyl, carboxyalkyl, alkoxycarbonylalkyl, alkylaminocarbonylalkyl, N-hydroxyaminocarbonylalkyl, N-hydroxy-N-alkyl-aminocarbonylalkyl, arylaminocarbonylalkyl and aminocarbonylalkyl; wherein R2 is aryl substituted at a substitutable position with a radical selected from alkylsulfonyl and sulfamyl; wherein R3 is selected from aryl, cycloalkyl, and cycloalkenyl; wherein R3 is optionally substituted at a substitutable position with one or more radicals selected from halo, alkylthio, alkylsulfinyl, alkyl, cyano, carboxyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, N-alkyl-N-arylaminocarbonyl, haloalkyl, hydroxyl, alkoxy, hydroxyalkyl, haloalkoxy, amino, alkylamino, arylamino, heterocyclo and nitro; and wherein R4 is selected from hydrido, alkyl, haloalkyl, carboxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, aminocarbonylalkyl, hydroxyalkyl and aralkoxyalkyl; or a pharmaceutically-acceptable salt thereof. Thus, e.g., acylation of thioanisole with 4-fluorophenylacetic acid afforded 2-(4-fluorophenyl)-1-[4-(methylthio)phenyl]ethanone; acylation of the latter with 1-trifluoroacetylimidazole followed by heterocyclization with hydrazine afforded 4-(4-fluorophenyl)-3-[4-(methylthio)phenyl]-5-(trifluoromethyl)-1H-pyrazole; oxidation of latter to the 4-methylsulfonyl derivative followed by 1-ethylation afforded 1-ethyl-4-(4-fluorophenyl)-3-[4-(methylsulfonyl)phenyl]-5-(trifluoromethyl)-1H-pyrazole (II) which exhibited selective inhibition of cyclooxygenase II: ID50 = >10 μM for COX I, and <0.1 μM for COX II.

IT 175676-93-4P
RL: BYP (Byproduct); PREP (Preparation)
(3-[4-(methylsulfonyl)phenyl]-1H-pyrazoles and 4-(1H-pyrazol-3-yl)benzenesulfonamides as selective inhibitors of cyclooxygenase II useful as inflammation inhibitors)

RN 175676-93-4 CAPLUS
CN 1H-Pyrazole, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-1-(2-phenylethyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10628375 7/18/06

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LOGOFF? (Y)/N/HOLD:Y

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.25	-8.25

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